=> file caplus
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FILE COVERS 1907 - 29 Apr 2004 VOL 140 ISS 18
FILE LAST UPDATED: 28 Apr 2004 (20040428/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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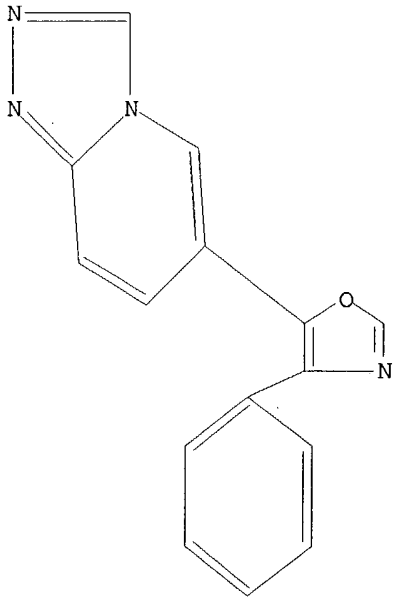
L1 STR



Structure attributes must be viewed using STN Express query preparation.
L3 54 SEA FILE=REGISTRY SSS FUL L1
L4 3 SEA FILE=CAPLUS L3

=> d l4 1-3 ibib abs hitstr

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:203834 CAPLUS
DOCUMENT NUMBER: 140:235722
TITLE: Preparation of 6-[4-(di- or trifluorophenyl)oxazol-5-

yl][1,2,4]triazolo[4,3-a]pyridine as inhibitors of mitogen-activated protein (MAP) kinases

INVENTOR(S): Dombroski, Mark Anthony; Letavic, Michael Anthony; McClure, Kim Francis

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

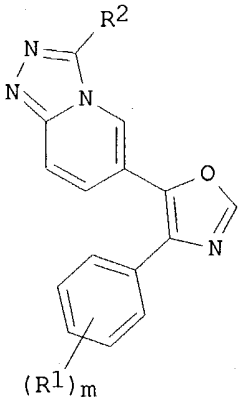
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004020440	A1	20040311	WO 2003-IB3847	20030819
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004053958	A1	20040318	US 2003-649236	20030827

PRIORITY APPLN. INFO.: US 2002-407177P P 20020830
OTHER SOURCE(S): MARPAT 140:235722

GI



I

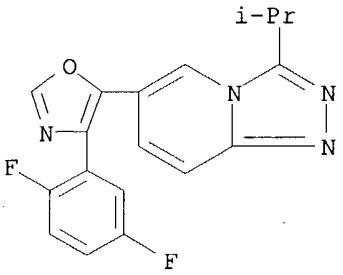
AB The present invention relates to novel triazolo-pyridines of the formula (I) [wherein R1 is fluoro; m = 2,3; R2 is C3-6 cycloalkyl optionally substituted by one or two moieties independently selected from the group consisting of halo, C1-4 alkyl, hydroxy, C1-6 alkoxy and C1-6 alkyl-CO-O; or R2 is C1-6 alkyl optionally substituted by one or two moieties independently selected from the group consisting of halo, C1-6 alkyl, hydroxy, C1-6 alkoxy and C1-6 alkyl-CO-O; with the proviso that said compd. of this formula cannot be 6-[4-(2,4-difluorophenyl)-oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine or 6-[4-(3,4-difluorophenyl)-oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine] or

pharmaceutically acceptable salt thereof; to intermediates for their prepn., and to pharmaceutical compns. contg. them and to their medicinal use. The compds. I are potent inhibitors of mitogen-activated protein (MAP) kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders. Thus, a mixt. of [.alpha.-(p-toluenesulfonyl)-2,6-difluorobenzyl]isonitrile (1.79 g, 5.84 mmol), 3-isopropyl-[1,2,4]triazolo[4,3-a]-6-pyridinecarboxaldehyde > (1.10 g, 5.84 mmol), potassium carbonate (1.05 g, 7.59 mmol) and acetonitrile (17.5 mL) was refluxed for 22 h to give, after workup and silica gel chromatog., 6-[4-(2,6-difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine as a yellow solid. A tablet formulation contg. 6-[4-(2,5-difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine was prepd., which can be administered to a human from one to four times a day for inhibiting cartilage damage or treating osteoarthritis.

IT **668981-02-0P**
RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(X-ray crystalog. data and polymorphism; prepn. of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38 kinase inhibitors and therapeutic agents)

RN 668981-02-0 CAPLUS

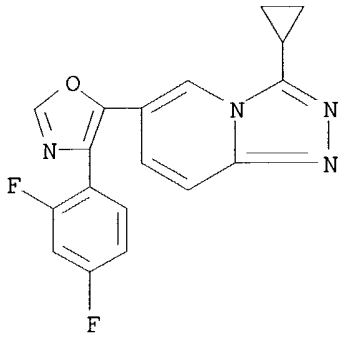
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



IT **668990-79-2P**, 3-Cyclopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; prepn. of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38 kinase inhibitors and therapeutic agents)

RN 668990-79-2 CAPLUS

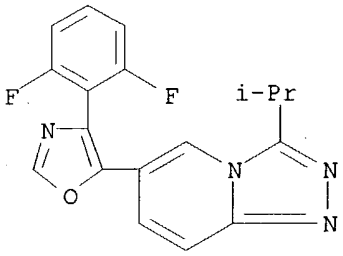
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



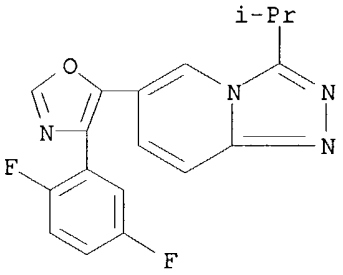
IT **668981-03-1P**, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **668981-04-2P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine hydrochloride **668981-05-3P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine methanesulfonate **668981-06-4P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine p-toluenesulfonate **668981-07-5P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine sulfate **668990-77-0P**, 3-tert-Butyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-78-1P**, 3-tert-Butyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine **668990-83-8P**, 3-Cyclopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine **668990-84-9P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)-[1,2,4]triazolo[4,3-a]pyridine **668990-85-0P**, 6-[4-(2,4-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)-[1,2,4]triazolo[4,3-a]pyridine **668990-86-1P**, 3-Cyclobutyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-87-2P**, 3-Isopropyl-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-90-7P**, 3-Isopropyl-6-[4-(2,3,4-trifluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine **668990-91-8P**, 3-Isopropyl-6-[4-(2,3,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-92-9P**, 3-Isopropyl-6-[4-(2,4,6-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-93-0P**, 3-Isopropyl-6-[4-(3,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-94-1P**, 3-tert-Butyl-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-95-2P**, 3-Cyclopropyl-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-96-3P**, 3-(1-Methylcyclopropyl)-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-97-4P**, 3-Isopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38 kinase inhibitors and therapeutic agents)

RN 668981-03-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 668981-04-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 668981-05-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

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CRN 668981-02-0
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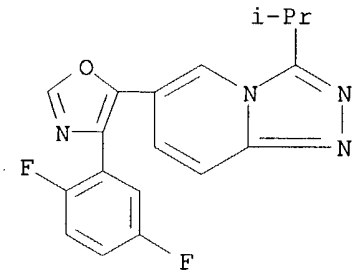

CM 2

CRN 75-75-2
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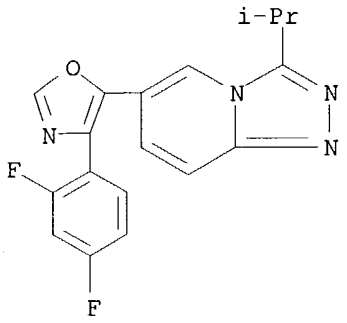
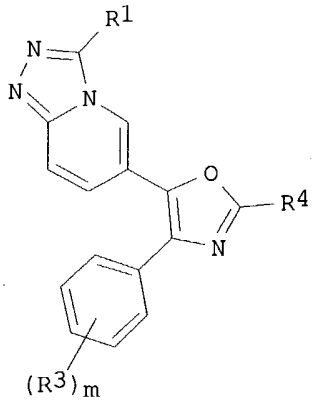


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:203832 CAPLUS
DOCUMENT NUMBER: 140:235721
TITLE: Novel processes and intermediates for preparing [1,2,4]triazolo[4,3-a]pyridines
INVENTOR(S): Buzon, Richard Allen Sr.; Castaldi, Michael James; Li, Zhengong Bryan; Ripin, David Harold Brown; Tao, Yong
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004020438	A2	20040311	WO 2003-IB3669	20030818
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004053959	A1	20040318	US 2003-649247	20030827

PRIORITY APPLN. INFO.: US 2002-407085P P 20020830
OTHER SOURCE(S): CASREACT 140:235721; MARPAT 140:235721
GI



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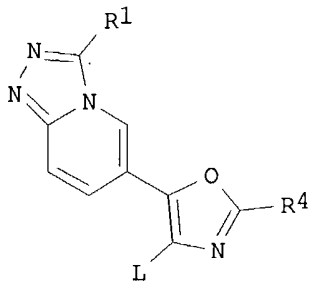

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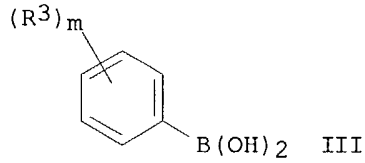
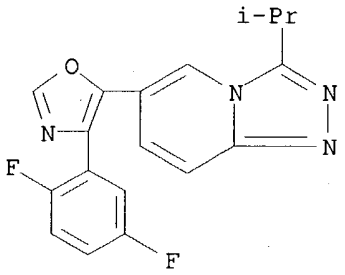


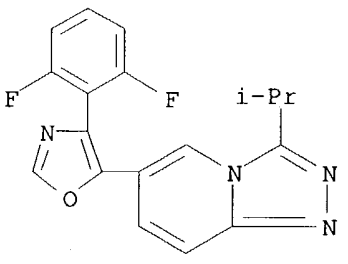
III

AB The present invention relates and intermediates to a novel process for prepg. triazolo-pyridines of the formula (I) [R1 = H, cyano, each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-10 cycloalkyl, Ph, C1-10 heteroaryl, C1-10 heterocyclyl or NH2; R3 = halo, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, perhalo-C1-6 alkyl, Ph, C1-10 heteroaryl, C1-10 heterocyclyl, C3-10 cycloalkyl, HO, C1-6 alkoxy, perhalo-C1-10 alkoxy, PhO, C1-10 heteroaryloxy, C1-10 heterocyclyloxy-C3-10 cycloalkyloxy, C1-6 alkylthio, C1-16 alkylsulfonyl, C1-6 alkylsulfamoyl, amino, mono - or di(C1-6 alkyl)amino, C1-6 sulfonylamino, C1-6 alkyl-carbonylamino, etc.; or two adjacent R2 taken together with the carbon atoms to which they are attached to form a five to six membered carbocyclic or heterocyclic ring; m = an integer from 0-5; R4 = H, F, Cl, R5-B-(CH2)n-; n = n integer from 0-6; B = a bond, (CHR6), O, S, SO2, CO, O-CO, CO-O, CO-NR6, R6N, R6NSO2, R6NCO, SO2NR6, R6NCONR7, O-CONR6 or R6NCO-O; R5 = H, CF3, cyano, each (un)substituted Ph, C1-10 heterocyclyl, C1-10 heteroaryl, or C3-10 cycloalkyl, etc.; R6 = H, C1-6 alkylsulfonyl, C1-6 alkyl] or acceptable salts thereof, e.g., comprising reacting 6-(oxazol-5-yl)[1,2,4]triazolo[4,3-a]pyridines (II) (L = a leaving group and R1 and R4 are as defined above) with phenylboronoic acids (III) and a transition metal catalyst. The compds. I prepd. by the methods of the present invention are potent inhibitors of mitogen-activated protein (MAP) kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders. Thus, 6-(4-bromooxazol-5-yl)-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine (33.0 g, 0.107 mol), 2,5-difluorophenylboronic acid (25.34 g, 0.1605 mol), Pd(PPh3)4 (12.36 g, 0.0107 mol), Et3N (22.37 mL, 0.1605 mol), 2B ethanol (495 mL), and water (33 mL), were added to a 2 L 4 neck round bottom flask (equipped with mech. stirring, nitrogen, heating mantle, temp. controller, and a condenser), stirred while heating to 65 to 70.degree., and kept stirring overnight at .apprx.70.degree.. Two addnl. difluorophenylboronic acid (8.5 g, 0.054 mol) and Et3N (7.53 mL, 0.054 mol), were added and each time the reaction was allowed to proceed overnight at 70.degree.. Toluene (30 mL) was added and the reaction was allowed to go overnight once again at 70.degree., treated with H2O (495 mL), and pot-granulated for 4 h at 20 to 25.degree.. The solids were collected by vacuum filtration, washed with 2B ethanol/H2O (50:50) (25 mL of each), and dried in a vacuum oven at 45.degree. for 4 ho under full vacuum to afford 14.4 g 3-isopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine (40.6% yield, 93.4% purity by HPLC).

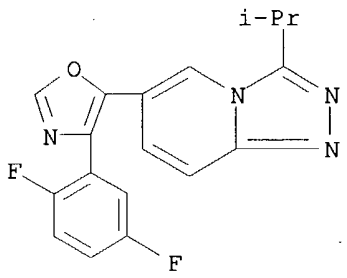
IT **668981-02-0P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of triazolopyridines as p38 kinase inhibitors by Suzuki coupling of phenylboronic acid with (bromooxazolyl)triazolopyridine deriv. or cyclocondensation of .alpha.-tosylbenzyl isonitrile with triazolopyridinecarboxaldehyde)
RN 668981-02-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



IT **668981-03-1P**, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **668981-04-2P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine hydrochloride **668981-05-3P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine methanesulfonate **668981-06-4P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine p-toluenesulfonate **668981-07-5P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine sulfate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of triazolopyridines as p38 kinase inhibitors by Suzuki coupling of phenylboronic acid with (bromooxazolyl)triazolopyridine deriv. or cyclocondensation of .alpha.-tosylbenzyl isonitrile with triazolopyridinecarboxaldehyde)
RN 668981-03-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 668981-04-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

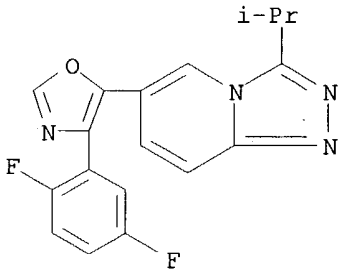


● HCl

RN 668981-05-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

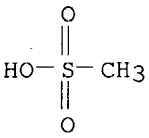
CM 1

CRN 668981-02-0
CMF C18 H14 F2 N4 O



CM 2

CRN 75-75-2
CMF C H4 O3 S

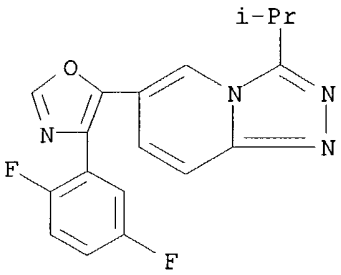


RN 668981-06-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

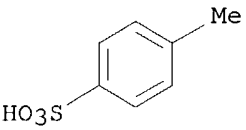
CRN 668981-02-0

CMF C18 H14 F2 N4 O



CM 2

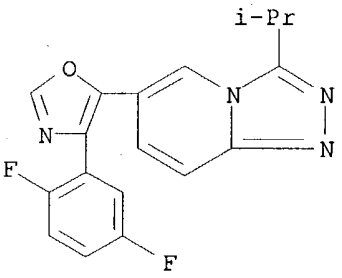
CRN 104-15-4
CMF C7 H8 O3 S



RN 668981-07-5 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

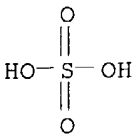
CM 1

CRN 668981-02-0
CMF C18 H14 F2 N4 O



CM 2

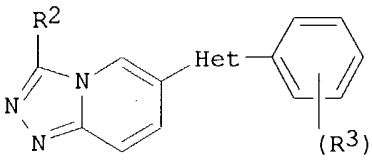
CRN 7664-93-9
CMF H2 O4 S



L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:716275 CAPLUS
DOCUMENT NUMBER: 137:232658
TITLE: Preparation of 6-(phenylheterocyclyl)-[1,2,4]triazolo[4,3-a]pyridines as anti-inflammatory agents
INVENTOR(S): Dombroski, Mark Anthony; Duplantier, Allen Jacob; Laird, Ellen Ruth; Letavic, Michael Anthony; McClure, Kim Francis
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072579	A1	20020919	WO 2002-IB424	20020208
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1370559	A1	20031217	EP 2002-710260	20020208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300437	A	20040216	EE 2003-437	20020208
US 2003096838	A1	20030522	US 2002-94760	20020311
US 6696464	B2	20040224		
NO 2003003969	A	20031013	NO 2003-3969	20030908

PRIORITY APPLN. INFO.: US 2001-274840P P 20010309
WO 2002-IB424 W 20020208
OTHER SOURCE(S): MARPAT 137:232658
GI



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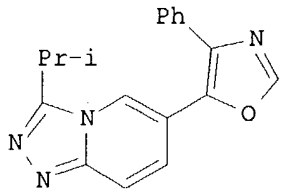


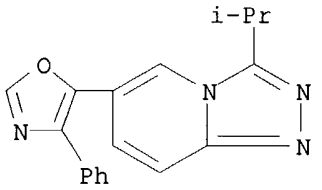
II

AB Title compds. I [wherein Het = (un)substituted pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, or isothiazolyl; R2 = H, alkenyl, alkynyl, or (un)substituted (cyclo)alkyl, Ph, heteroaryl, or heterocyclyl, or amino; R3 = halo, (cyclo)alkyl(oxy), (perhalo)alkyl, alkenyl, alkynyl, Ph, heteroaryl(oxy), heterocyclyl(oxy), OH, (perhalo)alkoxy, PhO, alkylthio, alkylsulfonyl, alkylaminosulfonyl, NO2, (un)substituted amino, carbamoyl, etc.; n = 0-5; or pharmaceutically acceptable salts thereof] were prepd. as potent inhibitors of MAP kinases, preferably p38 kinase (no data). For example, 6-chloronicotinic acid was condensed with N,O-dimethylhydroxylamine.bul.HCl (96%). Treatment of the amide with (i-Bu)2AlH gave the aldehyde (24%), which was coupled with (phenyl)(p-tolylsulfonyl)methylisocyanide to afforded 2-chloro-5-(4-phenyloxazol-5-yl)pyridine (71%). Conversion to the hydrazine (100%), followed by coupling with isobutyryl chloride and cyclization using POCl3 (32%), produced II. I are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases, and other disorders (no data).

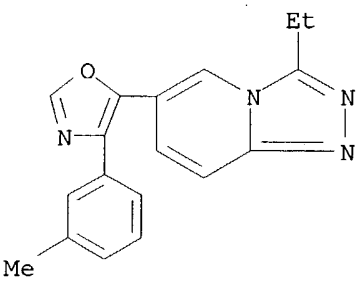
IT **459447-61-1P**, 3-Isopropyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-64-4P**, 3-Ethyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-66-6P**, 3-Cyclopropyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-67-7P**, 3-Cyclobutyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-69-9P**, 3-Difluoromethyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-71-3P**, 3-(Isoxazol-5-yl)-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-72-4P**, 6-(4-Phenyloxazol-5-yl)-3-(2,2,2-trifluoroethyl)-[1,2,4]triazolo[4,3-a]pyridine **459447-73-5P**, 3-Cyclobutyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-74-6P**, 3-Cyclopropyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-75-7P**, 3-Ethyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-76-8P**, 3-Ethyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-77-9P**, 6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **459447-78-0P**, 3-Cyclobutyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-79-1P**, 3-Isopropyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-80-4P**, 6-[4-(4-Fluoro-3-methylphenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **459447-82-6P**, 3-Cyclopropyl-6-[4-(4-fluoro-3-methylphenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-83-7P**, 6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazolo[4,3-a]pyridine **459447-84-8P**, 3-Isopropyl-6-(2-methyl-4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-88-2P**, 6-[4-(4-Fluorophenyl)-2-methyloxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]-pyridine **459447-89-3P**, [6-[4-(4-Fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridin-3-yl]acetic acid ethyl ester **459447-90-6P**, 3-(2-Chlorophenyl)-6-[4-(m-tolyl)oxazol-5-yl]-

[1,2,4]triazol[4,3-a]pyridine **459447-91-7P**, 6-[4-(2-Fluoro-5-methylphenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459447-92-8P 459447-93-9P**, 3-(2-Fluorophenyl)-6-[4-(m-tolyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459447-94-0P**, [6-[4-(4-Fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridin-3-yl]dimethylamine **459447-95-1P**, 6-[4-(4-Fluoro-3-methylphenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine **459447-96-2P**, 6-[4-(3-Chloro-4-fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine **459447-97-3P**, 6-[4-(3-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine **459447-98-4P**, 3-(2-Chlorophenyl)-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459448-00-1P**, 6-[4-(3,4-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine **459448-01-2P**, 6-[4-(4-Fluorophenyl)-2-methyloxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine **459448-02-3P**, 6-[4-(3-Fluorophenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anti-inflammatory agent; prepn. of (phenylheterocyclyl)triazolopyridines as anti-inflammatory agents)

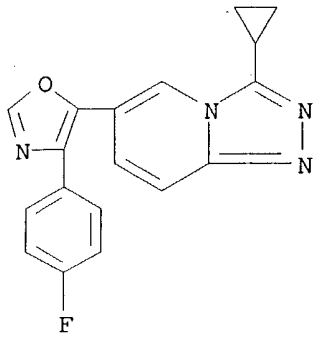
RN 459447-61-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



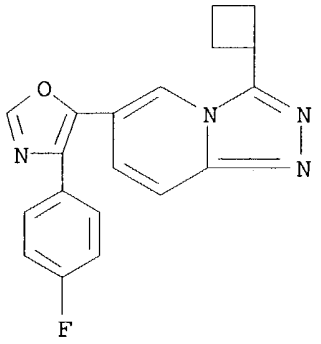
RN 459447-64-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



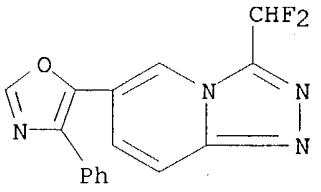
RN 459447-66-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



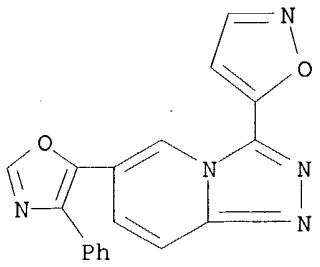
RN 459447-67-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 459447-69-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(difluoromethyl)-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 459447-71-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(5-isoxazolyl)-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 459447-72-4 CAPLUS
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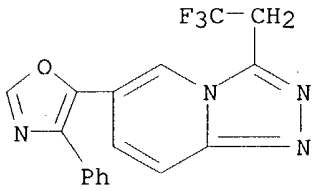
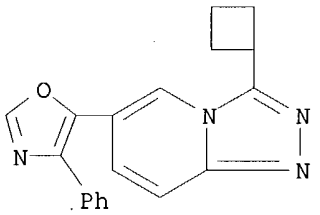
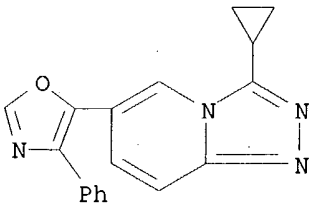


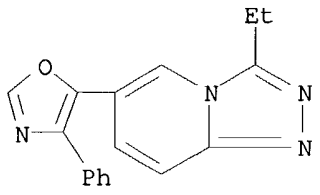
RN 459447-73-5 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



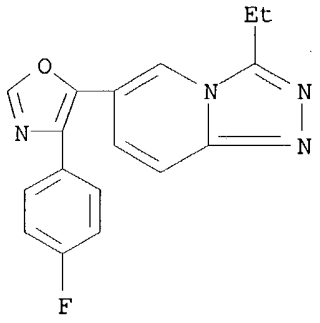
RN 459447-74-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 459447-75-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 459447-76-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 459447-77-9 CAPLUS
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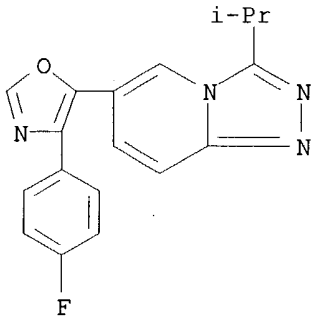
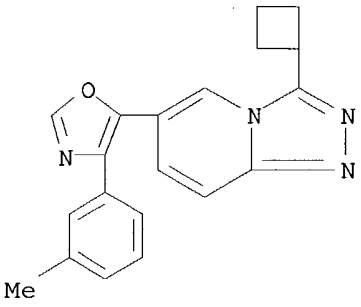
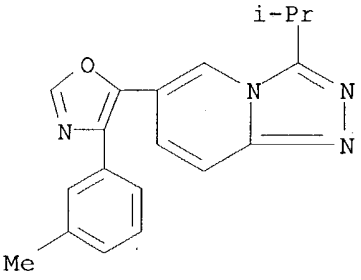


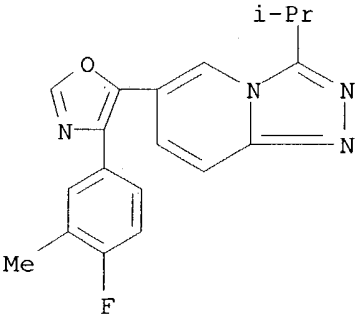
RN 459447-78-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



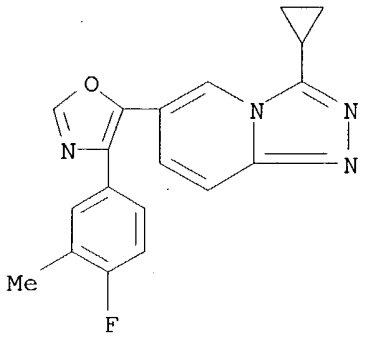
RN 459447-79-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



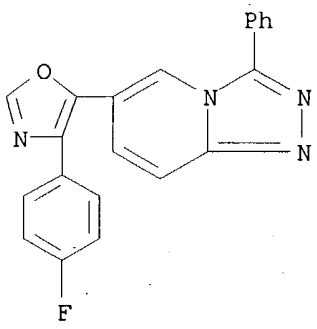
RN 459447-80-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



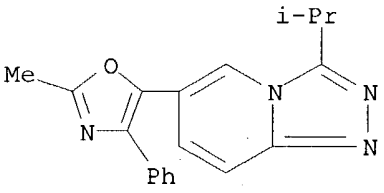
RN 459447-82-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



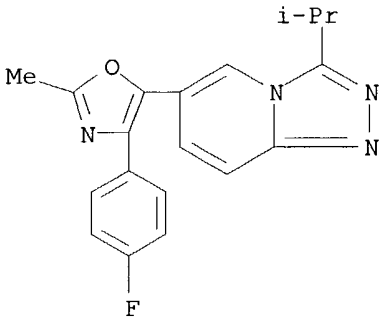
RN 459447-83-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)



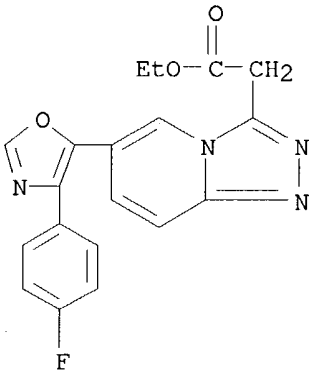
RN 459447-84-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(2-methyl-4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



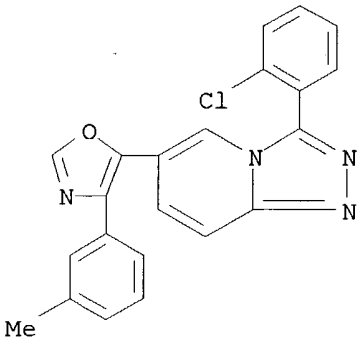
RN 459447-88-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-2-methyl-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



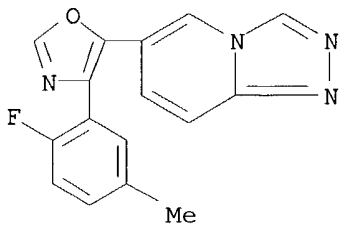
RN 459447-89-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine-3-acetic acid, 6-[4-(4-fluorophenyl)-5-oxazolyl]-, ethyl ester (9CI) (CA INDEX NAME)



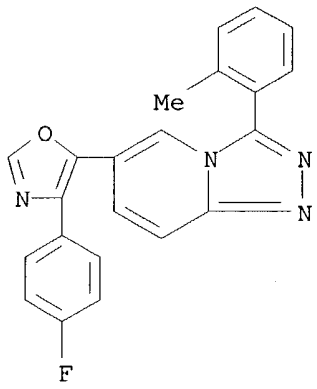
RN 459447-90-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-chlorophenyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



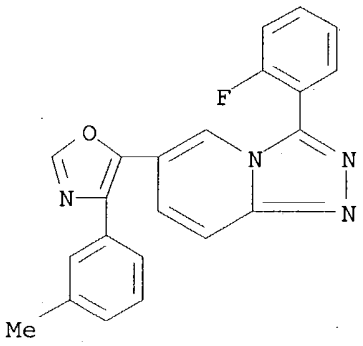
RN 459447-91-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2-fluoro-5-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



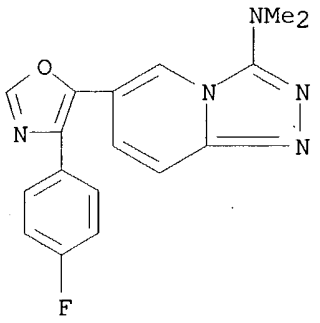
RN 459447-92-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-(2-methylphenyl)- (9CI) (CA INDEX NAME)



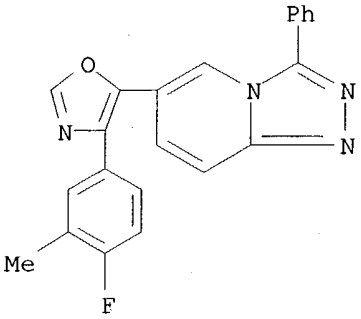
RN 459447-93-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-fluorophenyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



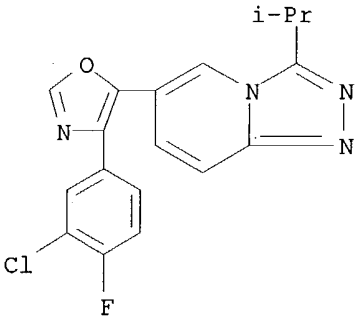
RN 459447-94-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3-amine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



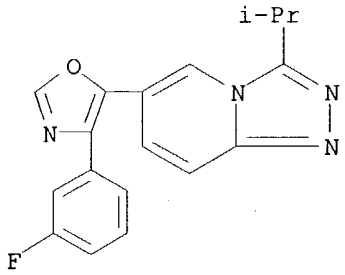
RN 459447-95-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)



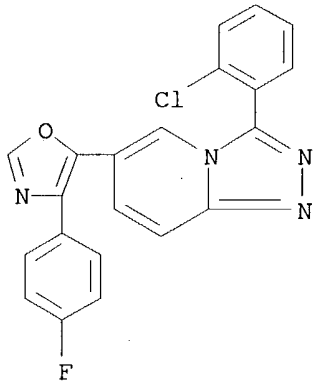
RN 459447-96-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-chloro-4-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



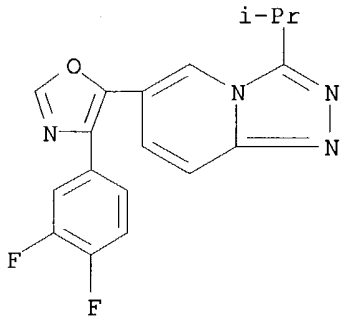
RN 459447-97-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



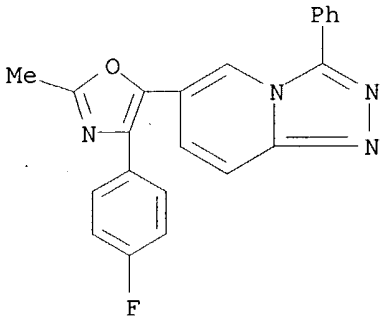
RN 459447-98-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-chlorophenyl)-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



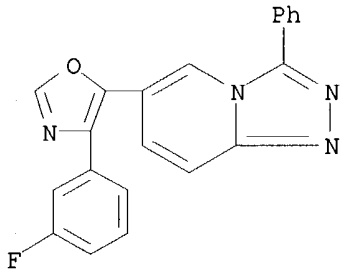
RN 459448-00-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 459448-01-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-2-methyl-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)



RN 459448-02-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)

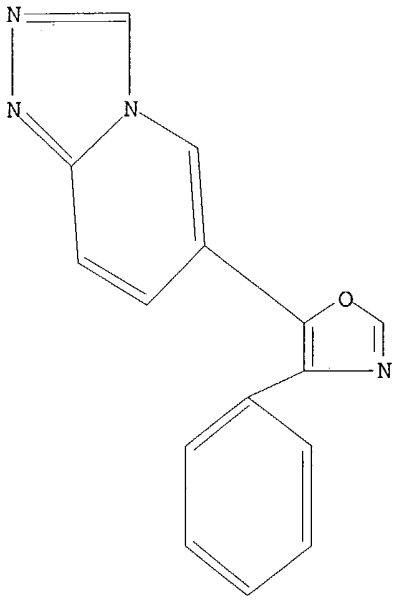


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file uspatall
FILE 'USPATFULL' ENTERED AT 15:50:15 ON 29 APR 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 15:50:15 ON 29 APR 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que
L1 STR



Structure attributes must be viewed using STN Express query preparation.
L3 54 SEA FILE=REGISTRY SSS FUL L1
L5 4 SEA L3

=> d l5 1-4 ibib abs hitstr

L5 ANSWER 1 OF 4 USPATFULL on STN

ACCESSION NUMBER:	2004:70731 USPATFULL
TITLE:	Novel processes and intermediates for preparing triazolo-pyridines
INVENTOR(S):	Buzon, Richard A., SR., Stonington, CT, UNITED STATES Castaldi, Michael J., Pawcatuck, CT, UNITED STATES Li, Zhengong B., East Lyme, CT, UNITED STATES Ripin, David H. B., Old Saybrook, CT, UNITED STATES Tao, Yong, Salem, CT, UNITED STATES
PATENT ASSIGNEE(S):	Pfizer Inc. (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004053959	A1	20040318	
APPLICATION INFO.:	US 2003-649247	A1	20030827	(10)

	NUMBER	DATE	
PRIORITY INFORMATION:	WO 2000-WO40243	20000713	
	WO 2000-WO63204	20001026	
	WO 2000-WO31065	20000602	
	WO 2000-WO6563	20000210	
	WO 2000-WO41698	20000720	
	US 2002-407085P	20020830	(60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612
NUMBER OF CLAIMS:	48

EXEMPLARY CLAIM: 1
LINE COUNT: 3578
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates and intermediates to a novel process for preparing triazolo-pyridines of the formula I ##STR1##

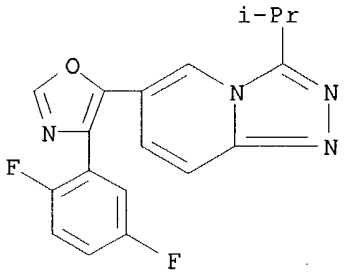
wherein R.sup.1 is selected from the group consisting of hydrogen, (C.sub.1-C.sub.6)alkyl or other suitable substituents;

R.sup.3 is selected from the group consisting of hydrogen, (C.sub.1-C.sub.6)alkyl or other suitable substituents;

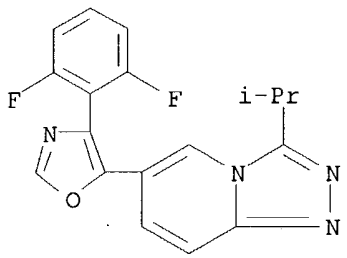
s is an integer from 0-5;

R.sup.4 is hydrogen or a suitable substituent and to intermediates for their preparation. The compounds prepared by the methods of the present invention are potent inhibitors of MAP kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

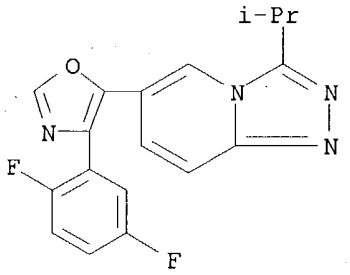
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **668981-02-0P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine
(prepn. of triazolopyridines as p38 kinase inhibitors by Suzuki coupling of phenylboronic acid with (bromooxazolyl)triazolopyridine deriv. or cyclocondensation of .alpha.-tosylbenzyl isonitrile with triazolopyridinecarboxaldehyde)
RN 668981-02-0 USPATFULL
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



IT **668981-03-1P**, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **668981-04-2P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine hydrochloride **668981-05-3P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine methanesulfonate **668981-06-4P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine p-toluenesulfonate **668981-07-5P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine sulfate
(prepn. of triazolopyridines as p38 kinase inhibitors by Suzuki coupling of phenylboronic acid with (bromooxazolyl)triazolopyridine deriv. or cyclocondensation of .alpha.-tosylbenzyl isonitrile with triazolopyridinecarboxaldehyde)
RN 668981-03-1 USPATFULL
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 668981-04-2 USPATFULL
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

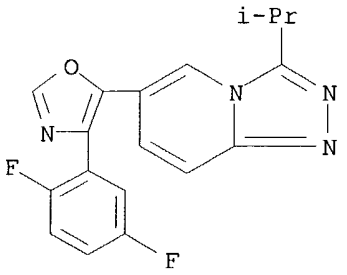


● HCl

RN 668981-05-3 USPATFULL
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

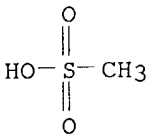
CM 1

CRN 668981-02-0
CMF C18 H14 F2 N4 O



CM 2

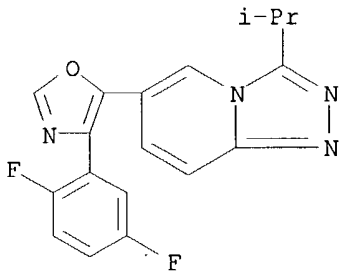
CRN 75-75-2
CMF C H4 O3 S



RN 668981-06-4 USPATFULL
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

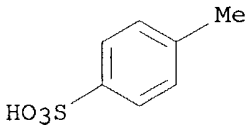
CM 1

CRN 668981-02-0
CMF C18 H14 F2 N4 O



CM 2

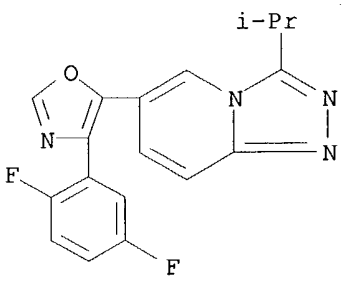
CRN 104-15-4
CMF C7 H8 O3 S



RN 668981-07-5 USPATFULL
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

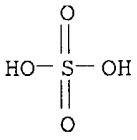
CM 1

CRN 668981-02-0
CMF C18 H14 F2 N4 O



CM 2

CRN 7664-93-9
CMF H2 O4 S



L5 ANSWER 2 OF 4 USPATFULL on STN

ACCESSION NUMBER:	2004:70730 USPATFULL
TITLE:	Di and trifluoro-triazolo-pyridines anti-inflammatory compounds
INVENTOR(S):	Dombroski, Mark A., Waterford, CT, UNITED STATES Letavic, Michael A., Mystic, CT, UNITED STATES McClure, Kim F., Mystic, CT, UNITED STATES
PATENT ASSIGNEE(S):	Pfizer Inc. (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004053958	A1	20040318	
APPLICATION INFO.:	US 2003-649236	A1	20030827	(10)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 2002-407177P	20020830	(60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612
NUMBER OF CLAIMS:	33
EXEMPLARY CLAIM:	1
LINE COUNT:	3038

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel triazolo-pyridines of the formula I ##STR1##

wherein R.sup.1 is fluoro;

s is an integer from two to three;

R.sup.2 is (C.sub.3-C.sub.6)cycloalkyl optionally substituted by one or

two moieties independently selected from the group consisting of halo, (C.sub.1-C.sub.4)alkyl, hydroxy, (C.sub.1-C.sub.6)alkoxy and (C.sub.1-C.sub.6)alkyl-(C.dbd.O)--O--;

or R.sup.2 is (C.sub.1-C.sub.6)alkyl optionally substituted by one or two moieties independently selected from the group consisting of halo, (C.sub.1-C.sub.6)alkyl, hydroxy, (C.sub.1-C.sub.6)alkoxy and (C.sub.1-C.sub.6)alkyl-(C.dbd.O)--O--;

with the proviso that said compound of formula I cannot be

6-[4-(2,4-Difluoro-phenyl)-oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine; or

6-[4-(3,4-Difluoro-phenyl)-oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine;

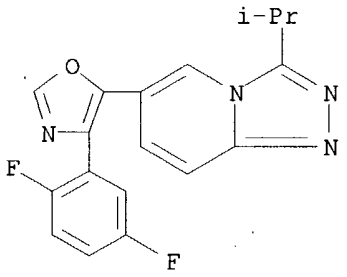
to intermediates for their preparation, to pharmaceutical compositions containing them and to their medicinal use. The compounds of the present invention are potent inhibitors of MAP kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, repurfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **668981-02-0P**
(X-ray crystalog. data and polymorphism; prepn. of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38 kinase inhibitors and therapeutic agents)

RN 668981-02-0 USPATFULL

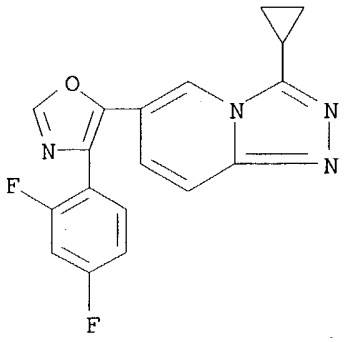
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



IT **668990-79-2P**, 3-Cyclopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine
(intermediate; prepn. of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38 kinase inhibitors and therapeutic agents)

RN 668990-79-2 USPATFULL

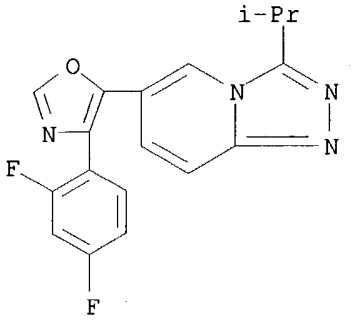
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



IT **668981-03-1P**, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **668981-04-2P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine hydrochloride **668981-05-3P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine methanesulfonate **668981-06-4P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine p-toluenesulfonate **668981-07-5P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine sulfate **668990-77-0P**, 3-tert-Butyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-78-1P**, 3-tert-Butyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine **668990-83-8P**, 3-Cyclopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine **668990-84-9P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)-[1,2,4]triazolo[4,3-a]pyridine **668990-85-0P**, 6-[4-(2,4-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)-[1,2,4]triazolo[4,3-a]pyridine **668990-86-1P**, 3-Cyclobutyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-87-2P**, 3-Isopropyl-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-90-7P**, 3-Isopropyl-6-[4-(2,3,4-trifluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine **668990-91-8P**, 3-Isopropyl-6-[4-(2,3,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-92-9P**, 3-Isopropyl-6-[4-(2,4,6-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-93-0P**, 3-Isopropyl-6-[4-(3,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-94-1P**, 3-tert-Butyl-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-95-2P**, 3-Cyclopropyl-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-96-3P**, 3-(1-Methylcyclopropyl)-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-97-4P**, 3-Isopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine
(prepn. of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38 kinase inhibitors and therapeutic agents)

RN 668981-03-1 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 4 USPATFULL on STN

ACCESSION NUMBER:	2003:140996 USPATFULL		
TITLE:	Novel triazolo-pyridines anti-inflammatory compounds		
INVENTOR(S):	McClure, Kim F., Mystic, CT, UNITED STATES Letavic, Michael A., Mystic, CT, UNITED STATES Dombroski, Mark A., Waterford, CT, UNITED STATES Duplantier, Allen J., Ledyard, CT, UNITED STATES Laird, Ellen R., Longmont, CO, UNITED STATES		
PATENT ASSIGNEE(S):	Pfizer Inc. (U.S. corporation)		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003096838	A1	20030522
	US 6696464	B2	20040224
APPLICATION INFO.:	US 2002-94760	A1	20020311 (10)
	NUMBER		DATE
PRIORITY INFORMATION:	US 2001-274840P		20010309 (60)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612		
NUMBER OF CLAIMS:	57		
EXEMPLARY CLAIM:	1		
LINE COUNT:	5372		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel triazolo-pyridines of the formula I ##STR1##

wherein Het is an optionally substituted 5-membered heterocycle containing one to two heteroatoms selected from nitrogen, sulfur and oxygen wherein at least one of said heteroatoms atoms must be nitrogen;

R.sup.2 is selected from the group consisting of hydrogen, (C.sub.1-C.sub.6)alkyl or other suitable substituents;

R.sup.3 is selected from the group consisting of hydrogen, (C.sub.1-C.sub.6)alkyl or other suitable substituents;

s is an integer from 0-5;

to intermediates for their preparation, to pharmaceutical compositions containing them and to their medicinal use. The compounds of the present invention are potent inhibitors of MAP kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis,

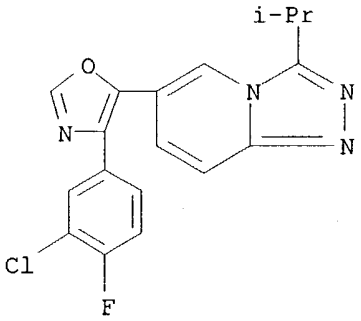
rheumatoid arthritis, cancer, repurfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

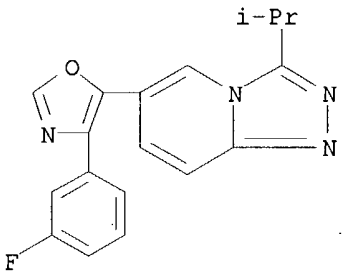
IT **459447-61-1P**, 3-Isopropyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-64-4P**, 3-Ethyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-66-6P**, 3-Cyclopropyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-67-7P**, 3-Cyclobutyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-69-9P**, 3-Difluoromethyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-71-3P**, 3-(Isoxazol-5-yl)-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-72-4P**, 6-(4-Phenyloxazol-5-yl)-3-(2,2,2-trifluoroethyl)-[1,2,4]triazolo[4,3-a]pyridine **459447-73-5P**, 3-Cyclobutyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-74-6P**, 3-Cyclopropyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-75-7P**, 3-Ethyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-76-8P**, 3-Ethyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-77-9P**, 6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **459447-78-0P**, 3-Cyclobutyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-79-1P**, 3-Isopropyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-80-4P**, 6-[4-(4-Fluoro-3-methylphenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **459447-82-6P**, 3-Cyclopropyl-6-[4-(4-fluoro-3-methylphenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-83-7P**, 6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazolo[4,3-a]pyridine **459447-84-8P**, 3-Isopropyl-6-(2-methyl-4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-88-2P**, 6-[4-(4-Fluorophenyl)-2-methyloxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]-pyridine **459447-89-3P**, [6-[4-(4-Fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridin-3-yl]acetic acid ethyl ester **459447-90-6P**, 3-(2-Chlorophenyl)-6-[4-(m-tolyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459447-91-7P**, 6-[4-(2-Fluoro-5-methylphenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459447-92-8P** **459447-93-9P**, 3-(2-Fluorophenyl)-6-[4-(m-tolyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459447-94-0P**, [6-[4-(4-Fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridin-3-yl]dimethylamine **459447-95-1P**, 6-[4-(4-Fluoro-3-methylphenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine **459447-96-2P**, 6-[4-(3-Chloro-4-fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine **459447-97-3P**, 6-[4-(3-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine **459447-98-4P**, 3-(2-Chlorophenyl)-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459448-00-1P**, 6-[4-(3,4-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine **459448-01-2P**, 6-[4-(4-Fluorophenyl)-2-methyloxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine **459448-02-3P**, 6-[4-(3-Fluorophenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine
(anti-inflammatory agent; prepn. of (phenylheterocyclyl)triazolopyridines as anti-inflammatory agents)

RN 459447-61-1 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 459447-97-3 USPATFULL
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 459447-98-4 USPATFULL
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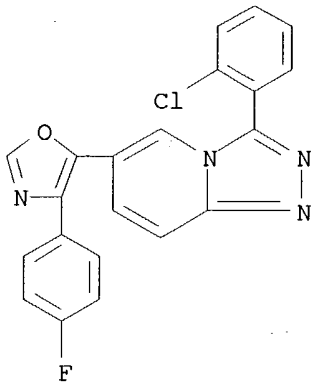
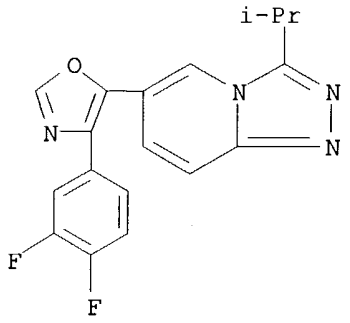


RN 459448-00-1 USPATFULL
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 459448-01-2 USPATFULL
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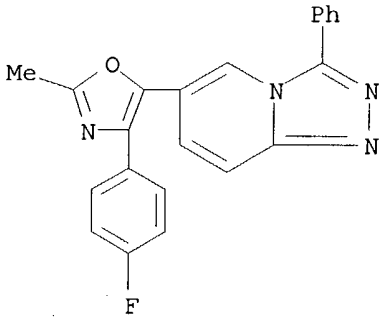

RN 459448-02-3 USPATFULL
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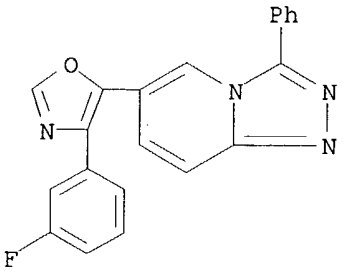


L5 ANSWER 4 OF 4 USPAT2 on STN
ACCESSION NUMBER: 2003:140996 USPAT2
TITLE: Triazolo-pyridines anti-inflammatory compounds
INVENTOR(S): McClure, Kim F., Mystic, CT, United States
Letavic, Michael A., Mystic, CT, United States
Dombroski, Mark A., Waterford, CT, United States
Duplantier, Allen J., Ledyard, CT, United States
Laird, Ellen R., Longmont, CO, United States
PATENT ASSIGNEE(S): Pfizer Inc, New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6696464	B2	20040224
APPLICATION INFO.:	US 2002-94760		20020311 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-274840P	20010309 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Seaman, D. Margaret
LEGAL REPRESENTATIVE: Richardson, Peter C., Butterfield, Garth
NUMBER OF CLAIMS: 53
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 5301
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel triazolo-pyridines of the formula I ##STR1##

wherein Het is an optionally substituted 5-membered heterocycle containing one to two heteroatoms selected from nitrogen, sulfur and oxygen wherein at least one of said heteroatoms atoms must be nitrogen;

R.sup.2 is selected from the group consisting of hydrogen, (C.sub.1-C.sub.6)alkyl or other suitable substituents;

R.sup.3 is selected from the group consisting of hydrogen, (C.sub.1-C.sub.6)alkyl or other suitable substituents;

s is an integer from 0-5;

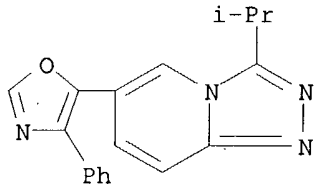
to intermediates for their preparation, to pharmaceutical compositions containing them and to their medicinal use. The compounds of the present invention are potent inhibitors of MAP kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, repurfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

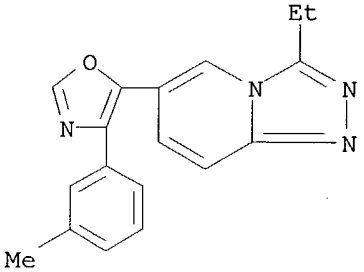
IT **459447-61-1P**, 3-Isopropyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-64-4P**, 3-Ethyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-66-6P**, 3-Cyclopropyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-67-7P**, 3-Cyclobutyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-69-9P**, 3-Difluoromethyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-71-3P**, 3-(Isoxazol-5-yl)-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-72-4P**, 6-(4-Phenyloxazol-5-yl)-3-(2,2,2-trifluoroethyl)-[1,2,4]triazolo[4,3-a]pyridine **459447-73-5P**, 3-Cyclobutyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-74-6P**, 3-Cyclopropyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-75-7P**, 3-Ethyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-76-8P**, 3-Ethyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-77-9P**, 6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **459447-78-0P**, 3-Cyclobutyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-79-1P**, 3-Isopropyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine

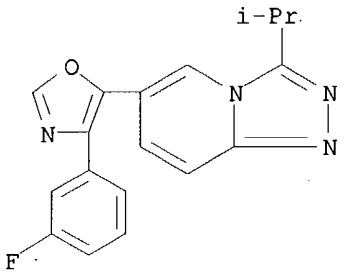
459447-80-4P, 6-[4-(4-Fluoro-3-methylphenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **459447-82-6P**, 3-Cyclopropyl-6-[4-(4-fluoro-3-methylphenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-83-7P**, 6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazolo[4,3-a]pyridine **459447-84-8P**, 3-Isopropyl-6-(2-methyl-4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-88-2P**, 6-[4-(4-Fluorophenyl)-2-methyloxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]-pyridine **459447-89-3P**, [6-[4-(4-Fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridin-3-yl]acetic acid ethyl ester **459447-90-6P**, 3-(2-Chlorophenyl)-6-[4-(m-tolyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459447-91-7P**, 6-[4-(2-Fluoro-5-methylphenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459447-92-8P** **459447-93-9P**, 3-(2-Fluorophenyl)-6-[4-(m-tolyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459447-94-0P**, [6-[4-(4-Fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridin-3-yl]dimethylamine **459447-95-1P**, 6-[4-(4-Fluoro-3-methylphenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine **459447-96-2P**, 6-[4-(3-Chloro-4-fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine **459447-97-3P**, 6-[4-(3-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine **459447-98-4P**, 3-(2-Chlorophenyl)-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459448-00-1P**, 6-[4-(3,4-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine **459448-01-2P**, 6-[4-(4-Fluorophenyl)-2-methyloxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine **459448-02-3P**, 6-[4-(3-Fluorophenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine
(anti-inflammatory agent; prepn. of (phenylheterocyclyl)triazolopyridines as anti-inflammatory agents)

RN 459447-61-1 USPAT2
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

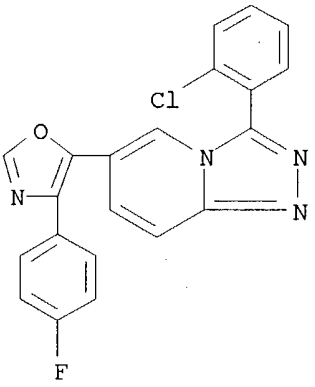


RN 459447-64-4 USPAT2
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

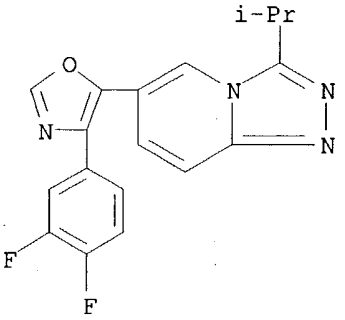




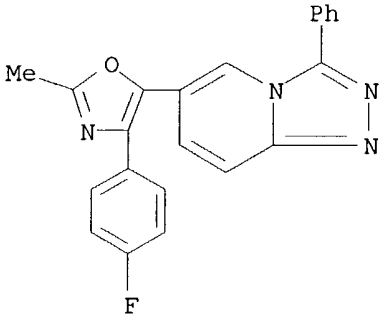
RN 459447-98-4 USPAT2
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-chlorophenyl)-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 459448-00-1 USPAT2
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 459448-01-2 USPAT2
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-2-methyl-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)



RN 459448-02-3 USPAT2
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)